

WHAT IS CLAIMED IS:

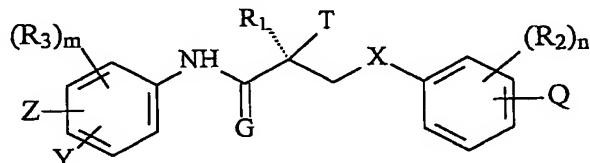
1. A method of treating a subject suffering from breast cancer, comprising the
5 step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to treat breast cancer in said subject.

2. The method according to claim 1, comprising administering an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of
10 said Androgen Receptor Antagonist, or any combination thereof.

3. The method of claim 1, wherein said Androgen Receptor Antagonist is an alkylating agent.

4. The method of claim 1, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.

15 5. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:



I

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

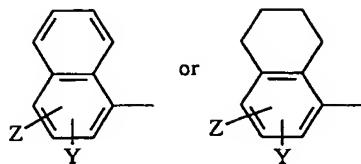
G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃,NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃,SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:

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Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

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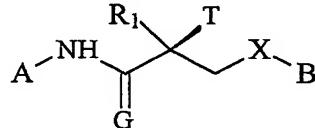
Q is SCN, NCS, OCN, or NCO;

n is an integer of 1-4; and

m is an integer of 1-3.

6. The method of claim 5, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is
10 NO₂, Y is CF₃, and Q is NCS.

7. The method according to claim 1, wherein said Androgen Receptor
Antagonist is represented by the structure of formula II.



II

15 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

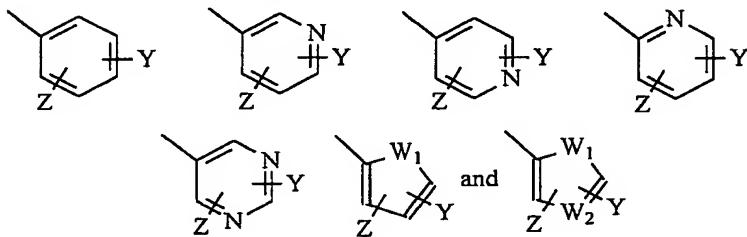
R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

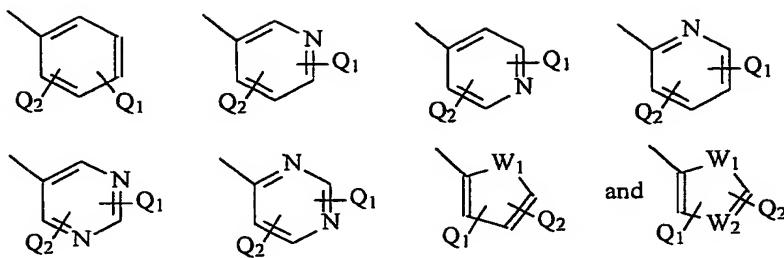
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

20 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



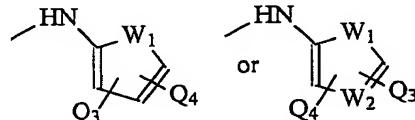
wherein A and B cannot simultaneously be a benzene ring;

Z is NO_2 , CN, COOH, COR, NHCOR or CONHR;

Y is CF_3 , F, I, Br, Cl, CN CR₃ or SnR₃;

5 Q₁ is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



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Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

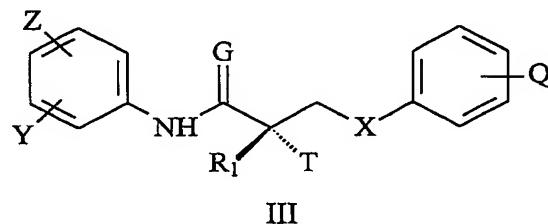
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W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

8. The method according to claim 7, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO_2 , Y is CF_3 , and Q₁ is NCS.

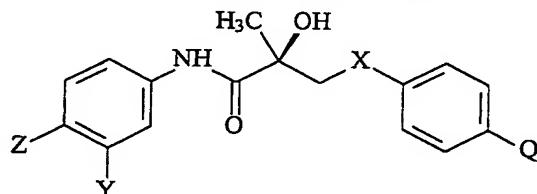
20 9. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 T is OH, OR, -NHCOCH₃, or NHCOR
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

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10. The method according to claim 9, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
11. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

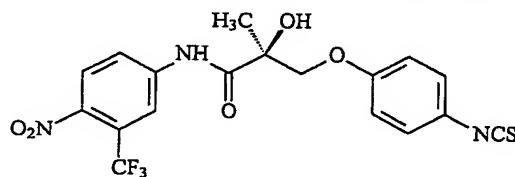


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wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO; and
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

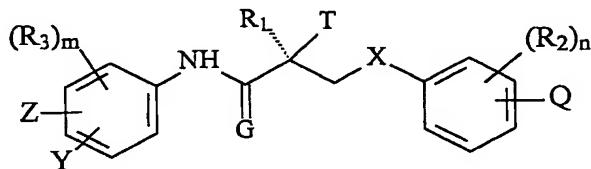
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20. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:



13. The method according to claim 1, wherein said subject is a female subject.
14. The method according to claim 1, wherein said subject is a male subject.
15. The method according to claim 1, wherein said administering comprises administering a pharmaceutical preparation comprising said Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
5
16. The method according to claim 15, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.
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17. The method according to claim 15, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
15
- 20 18. A method of preventing, suppressing, inhibiting or reducing the incidence of breast cancer in a subject, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to prevent, suppress, inhibit or reduce the incidence of breast cancer in said subject.
- 25 19. The method according to claim 18, comprising administering an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
20. The method of claim 18, wherein said Androgen Receptor Antagonist is an alkylating agent.
30
21. The method of claim 18, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.

22. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:



I

5 X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

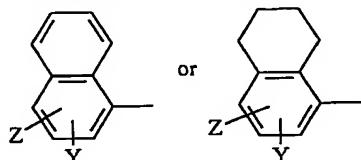
10 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

15 R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃,

20 SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR;

20 Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

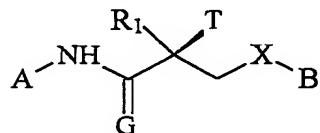
Q is SCN, NCS, OCN, or NCO;

n is an integer of 1-4; and

m is an integer of 1-3.

25 23. The method of claim 22, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

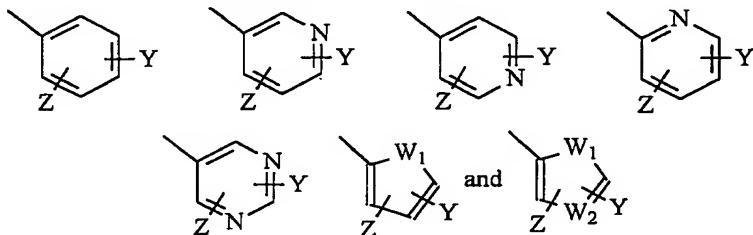
24. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.



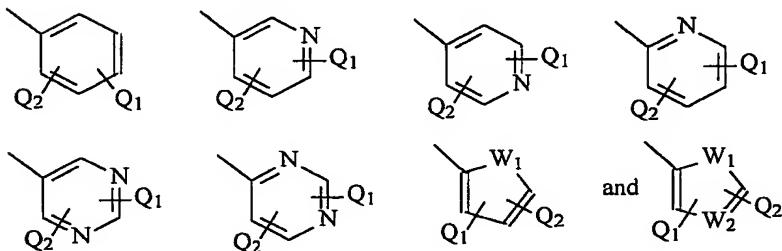
II

5 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;
 T is OH, OR, -NHCOCH₃, or NHCOR;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 10 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

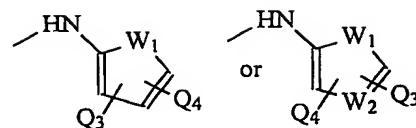
A is a ring selected from:



B is a ring selected from:



15 wherein A and B cannot simultaneously be a benzene ring;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;
 Q₁ is NCS, SCN, NCO or OCN;
 Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂,
 20 NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR,
 OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃,
 NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



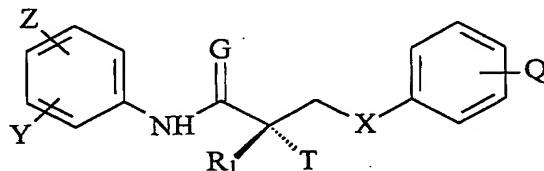
5 Q_3 and Q_4 are independently of each other a hydrogen, alkyl, halogen, CF_3 , CN , CR_3 , SnR_3 , NR_2 , $NHCOCH_3$, $NHCOCF_3$, $NHCOR$, $NHCONHR$, $NHCOOR$, $OCONHR$, $CONHR$, $NHCSCH_3$, $NHCSCF_3$, $NHCSR$, $NHSO_2CH_3$, $NHSO_2R$, OR , COR , $OCOR$, OSO_2R , SO_2R or SR ;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

10 25. The method according to claim 24, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.

26. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



III

15

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

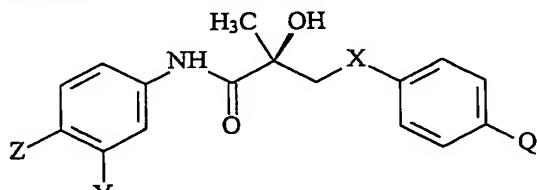
R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

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27. The method according to claim 26, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

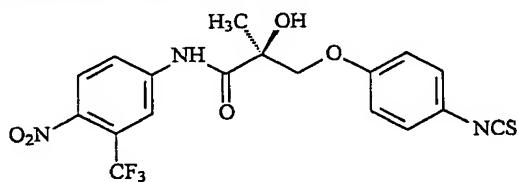
28. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:



IV

5 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO; and
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 10 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

29. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:



V

15 30. The method according to claim 18, wherein said subject is a female subject.
 31. The method according to claim 18, wherein said subject is a male subject.
 32. The method according to claim 18, wherein said administering comprises administering a pharmaceutical preparation comprising said Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
 20 33. The method according to claim 32, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally
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administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.

34. The method according to claim 32, wherein said pharmaceutical preparation
5 is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir,
a gel, a cream, a suppository or a parenteral formulation.

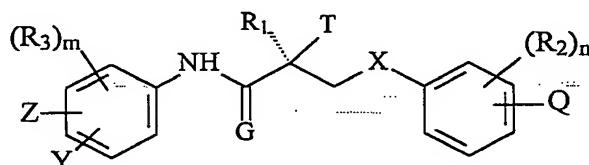
35. A method of delaying the progression of breast cancer in a subject suffering
10 from breast cancer, comprising the step of administering to said subject an
Androgen Receptor Antagonist, in an amount effective to delay the
progression of breast cancer in said subject.

36. The method according to claim 35, comprising administering an analog,
derivative, isomer, metabolite, pharmaceutically acceptable salt,
pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of
15 said Androgen Receptor Antagonist, or any combination thereof.

37. The method of claim 35, wherein said Androgen Receptor Antagonist is an
alkylating agent.

38. The method of claim 35, wherein said Androgen Receptor Antagonist is an
alkylating agent which binds irreversibly to an androgen receptor.

20 39. The method according to claim 35, wherein said Androgen Receptor
Antagonist is represented by the structure of formula I:



I

25 X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

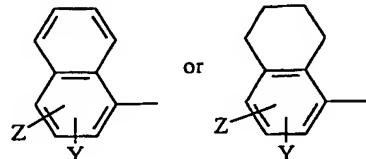
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

30 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R_2 is F, Cl, Br, I, CH_3 , CF_3 , OH, CN, NO_2 , $NHCOCH_3$, $NHCOCF_3$, $NHCOR$, alkyl, arylalkyl, OR, NH_2 , NHR , NR_2 , SR;

R_3 is F, Cl, Br, I, CN, NO_2 , COR, COOH, CONHR, CF_3 , SnR_3 , or R_3 together with the benzene ring to which it is attached forms a fused ring system represented by the structure:

5



Z is NO_2 , CN, COR, COOH, or CONHR;

Y is CF_3 , F, Br, Cl, I, CN, or SnR_3 ;

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Q is SCN, NCS, OCN, or NCO;

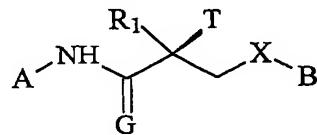
n is an integer of 1-4; and

m is an integer of 1-3.

40. The method of claim 39, wherein G is O, T is OH, R_1 is CH_3 , X is O, Z is NO_2 , Y is CF_3 , and Q is NCS.

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41. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.



II

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wherein X is a bond, O, CH_2 , NH, S, Se, PR, NO or NR;

G is O or S;

R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 ;

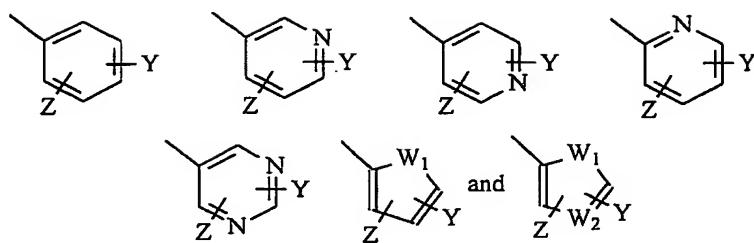
T is OH, OR, - $NHCOCH_3$, or $NHCOR$;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 ,

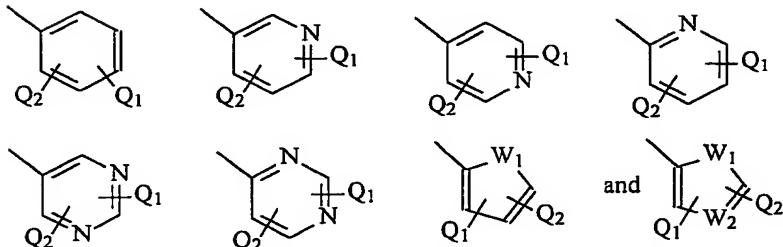
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CF_3 , CF_2CF_3 , aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

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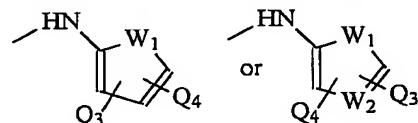
Z is NO_2 , CN, COOH, COR, NHCOR or CONHR;

Y is CF_3 , F, I, Br, Cl, CN CR₃ or SnR₃;

Q₁ is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

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Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

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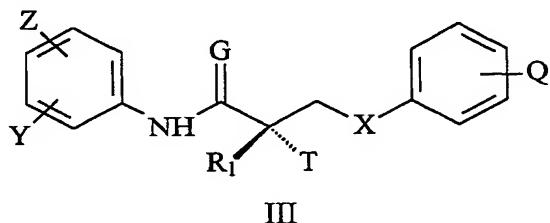
W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

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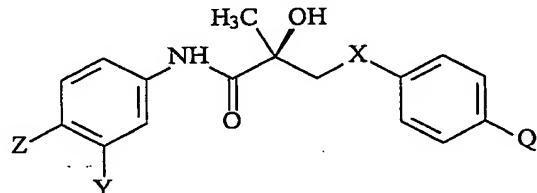
42. The method according to claim 41, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO_2 , Y is CF_3 , and Q₁ is NCS.

43. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



5 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 T is OH, OR, -NHCOPH₃, or NHCOR
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 10 Q is SCN, NCS, OCN, or NCO;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

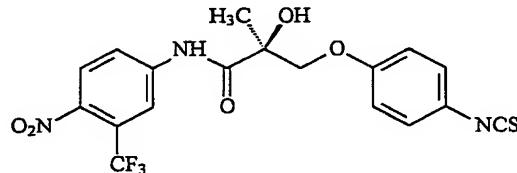
15 44. The method according to claim 43, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
 45. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:



20 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

46. The method according to claim 35, wherein said Androgen Receptor
5 Antagonist is represented by the structure of formula V:



V

47. The method according to claim 35, wherein said subject is a female subject.

48. The method according to claim 35, wherein said subject is a male subject.

10 49. The method according to claim 35, wherein said administering comprises
administering a pharmaceutical preparation comprising said Androgen
Receptor Antagonist and/or its analog, derivative, isomer, metabolite,
pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide,
crystal, polymorph, prodrug or any combination thereof; and a
15 pharmaceutically acceptable carrier.

50. The method according to claim 49, wherein said administering comprises
intravenously, intraarterially, or intramuscularly injecting to said subject said
pharmaceutical preparation in liquid form; subcutaneously implanting in said
subject a pellet containing said pharmaceutical preparation; orally
20 administering to said subject said pharmaceutical preparation in a liquid or
solid form; or topically applying to the skin surface of said subject said
pharmaceutical preparation.

51. The method according to claim 49, wherein said pharmaceutical preparation
is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir,
25 a gel, a cream, a suppository or a parenteral formulation.

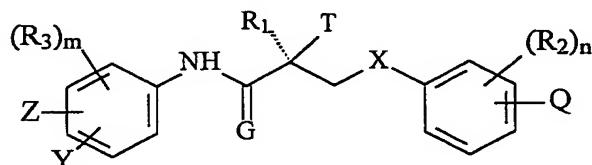
52. A method of preventing the recurrence of breast cancer in a subject,
comprising the step of administering to said subject an Androgen Receptor
Antagonist, in an amount effective to prevent the recurrence of breast cancer
in said subject.
30

53. The method according to claim 52, comprising administering an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.

5 54. The method of claim 52, wherein said Androgen Receptor Antagonist is an alkylating agent.

55. The method of claim 52, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.

10 56. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:



I

15 X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

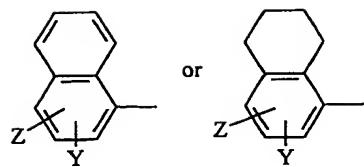
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

20 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃,

25 SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

5

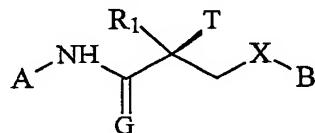
Q is SCN, NCS, OCN, or NCO;

n is an integer of 1-4; and

m is an integer of 1-3.

57. The method of claim 56, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is
10 NO₂, Y is CF₃, and Q is NCS.

58. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.



II

15

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

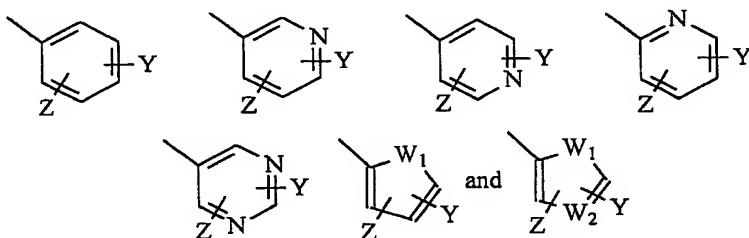
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

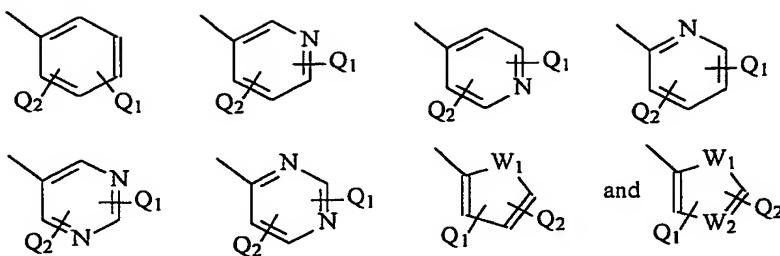
20

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



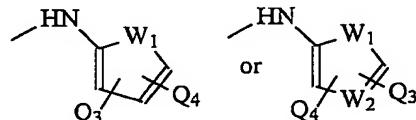
wherein A and B cannot simultaneously be a benzene ring;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

5 Q₁ is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCH₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



10

Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCH₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

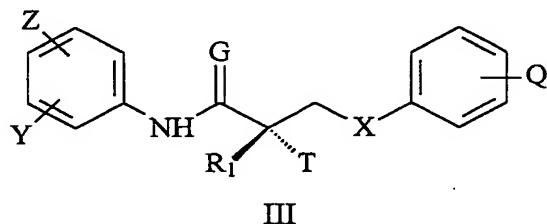
15

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

59. The method according to claim 58, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.

20 60. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



wherein

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

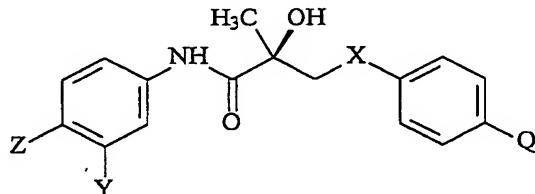
R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

5

10

61. The method according to claim 60, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

62. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:



15

20

wherein

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

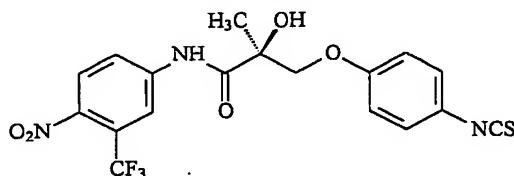
Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

25

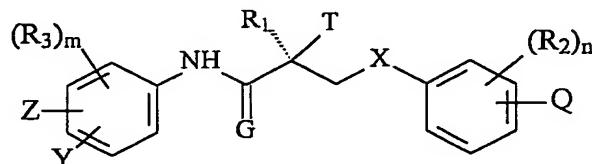
63. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:



V

64. The method according to claim 52, wherein said subject is a female subject.
65. The method according to claim 52, wherein said subject is a male subject.
66. The method according to claim 52, wherein said administering comprises administering a pharmaceutical preparation comprising said Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
5
67. The method according to claim 66, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.
10
68. The method according to claim 66, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
15
69. A method of treating the recurrence of breast cancer in a subject suffering from breast cancer, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to treat the recurrence of breast cancer in said subject.
20
70. The method according to claim 69, comprising administering an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
25
71. The method of claim 69, wherein said Androgen Receptor Antagonist is an alkylating agent.
72. The method of claim 69, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.
30

73. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:



I

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

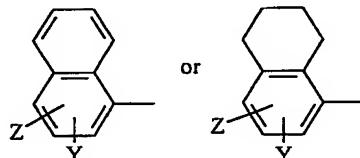
CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃,

SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

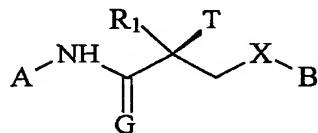
Q is SCN, NCS, OCN, or NCO;

n is an integer of 1-4; and

m is an integer of 1-3.

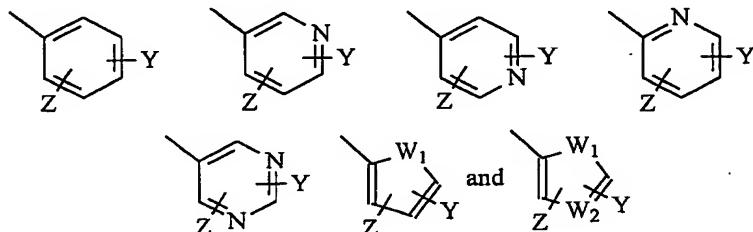
25 74. The method of claim 73, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

75. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

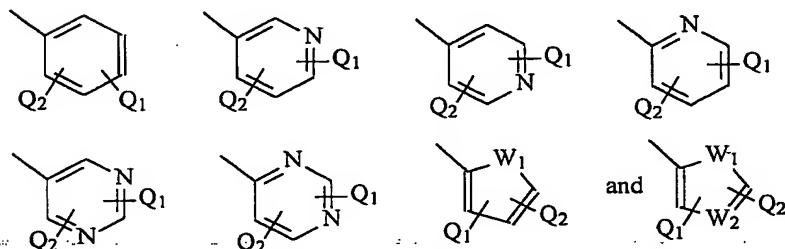


II

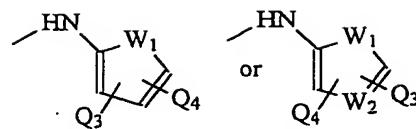
5 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;
 T is OH, OR, -NHCOCH₃, or NHCOR;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 10 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;
 A is a ring selected from:



B is a ring selected from:



15 wherein A and B cannot simultaneously be a benzene ring;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;
 Q₁ is NCS, SCN, NCO or OCN;
 Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂,
 20 NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR, NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



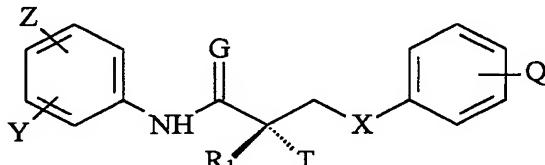
5 Q_3 and Q_4 are independently of each other a hydrogen, alkyl, halogen, CF_3 , CN , CR_3 , SnR_3 , NR_2 , $NHCOCH_3$, $NHCOCF_3$, $NHCOR$, $NHCONHR$, $NHCOOR$, $OCONHR$, $CONHR$, $NHCSCH_3$, $NHCSCF_3$, $NHCSR$, $NHSO_2CH_3$, $NHSO_2R$, OR , COR , $OCOR$, OSO_2R , SO_2R or SR ;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

10 76. The method according to claim 75, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.

77. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



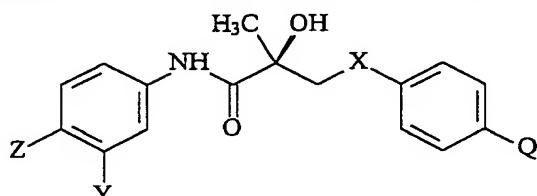
15 III

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 T is OH, OR, -NHCOCH₃, or NHCOR;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

20

25 78. The method according to claim 77, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

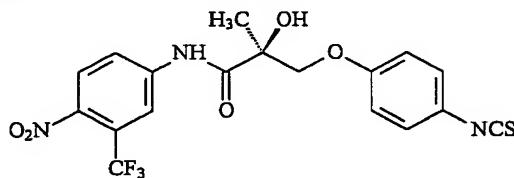
79. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:



IV

5 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO; and
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 10 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

80. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:



V

15 81. The method according to claim 69, wherein said subject is a female subject.
 82. The method according to claim 69, wherein said subject is a male subject.
 83. The method according to claim 69, wherein said administering comprises
 administering a pharmaceutical preparation comprising said Androgen
 20 Receptor Antagonist and/or its analog, derivative, isomer, metabolite,
 pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide,
 crystal, polymorph, prodrug or any combination thereof; and a
 pharmaceutically acceptable carrier.
 84. The method according to claim 83, wherein said administering comprises
 25 intravenously, intraarterially, or intramuscularly injecting to said subject said
 pharmaceutical preparation in liquid form; subcutaneously implanting in said
 subject a pellet containing said pharmaceutical preparation; orally

administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.

85. The method according to claim 83, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.

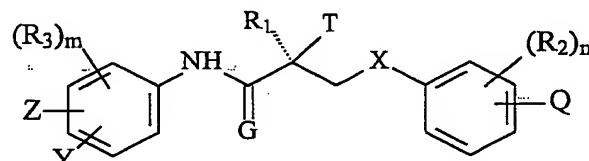
86. A method of treating, preventing, suppressing or inhibiting metastasis in a subject suffering from breast cancer, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to treat, prevent, suppress or inhibit metastasis in said subject.

87. The method according to claim 86, comprising administering an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.

88. The method of claim 86, wherein said Androgen Receptor Antagonist is an alkylating agent.

89. The method of claim 86, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.

90. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:



I

X is a bond, O, CH_2 , NH, S, Se, PR, NO or NR;

G is O or S;

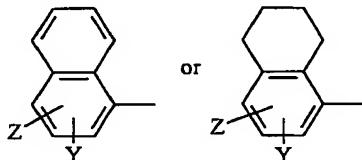
T is OH, OR, - NHCOCH_3 , or NHCOR ;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 , CF_3 , CF_2CF_3 , aryl, phenyl, halogen, alkenyl or OH;

R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 ;

R_2 is F, Cl, Br, I, CH_3 , CF_3 , OH, CN, NO_2 , $NHCOCH_3$, $NHCOCF_3$, $NHCOR$, alkyl, arylalkyl, OR, NH_2 , NHR , NR_2 , SR;

R_3 is F, Cl, Br, I, CN, NO_2 , COR, COOH, CONHR, CF_3 , SnR_3 , or R_3 together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO_2 , CN, COR, COOH, or CONHR;

Y is CF_3 , F, Br, Cl, I, CN, or SnR_3 ;

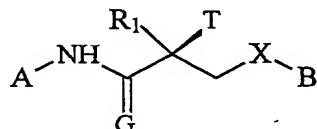
Q is SCN, NCS, OCN, or NCO;

n is an integer of 1-4; and

m is an integer of 1-3.

91. The method of claim 39, wherein G is O, T is OH, R_1 is CH_3 , X is O, Z is NO_2 , Y is CF_3 , and Q is NCS.

92. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.



II

wherein X is a bond, O, CH_2 , NH, S, Se, PR, NO or NR;

G is O or S;

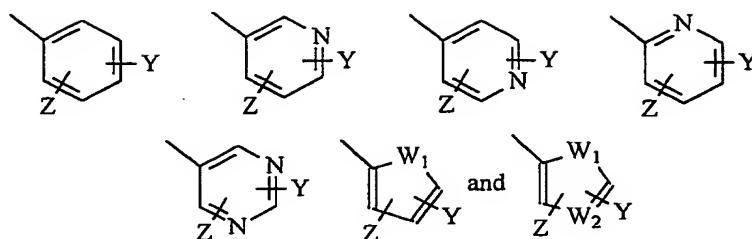
R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 ;

T is OH, OR, - $NHCOCH_3$, or $NHCOR$;

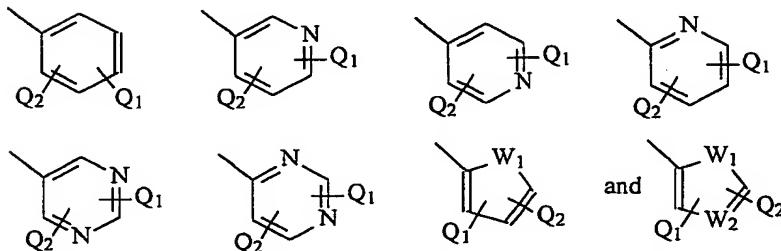
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 ,

CF_3 , CF_2CF_3 , aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

5

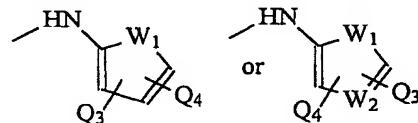
Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

10

Y is CF₃, F, I, Br, Cl, CN·CR₃ or SnR₃;

Q₁ is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN·CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



15 Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN·CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

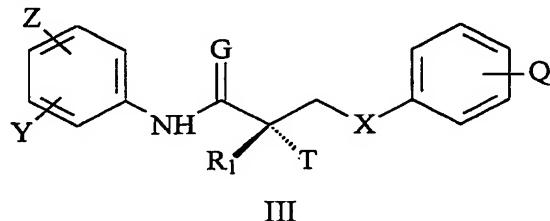
20

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

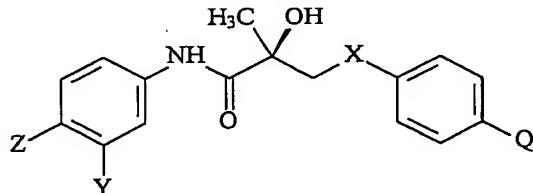
93. The method according to claim 92, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.

94. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



5 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 T is OH, OR, -NHCOCH₃, or NHCOR
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO;
 10 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,
 CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

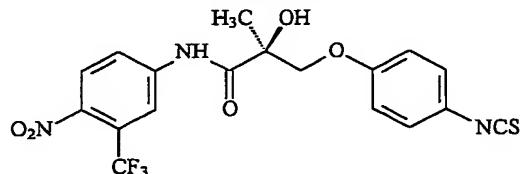
15 95. The method according to claim 94, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
 96. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:



20 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

97. The method according to claim 86, wherein said Androgen Receptor
5 Antagonist is represented by the structure of formula V:



V

98. The method according to claim 86, wherein said subject is a female subject.
99. The method according to claim 86, wherein said subject is a male subject.
100. The method according to claim 86, wherein said administering comprises
10 administering a pharmaceutical preparation comprising said Androgen
Receptor Antagonist and/or its analog, derivative, isomer, metabolite,
pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide,
crystal, polymorph, prodrug or any combination thereof; and a
pharmaceutically acceptable carrier.
15
101. The method according to claim 100, wherein said administering comprises
intravenously, intraarterially, or intramuscularly injecting to said subject said
pharmaceutical preparation in liquid form; subcutaneously implanting in said
subject a pellet containing said pharmaceutical preparation; orally
20 administering to said subject said pharmaceutical preparation in a liquid or
solid form; or topically applying to the skin surface of said subject said
pharmaceutical preparation.
102. The method according to claim 100, wherein said pharmaceutical preparation
is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir,
25 a gel, a cream, a suppository or a parenteral formulation.